

=> fil reg  
 FILE 'REGISTRY' ENTERED AT 10:28:34 ON 25 MAY 2003  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAY 2003 HIGHEST RN 519387-75-8  
 DICTIONARY FILE UPDATES: 23 MAY 2003 HIGHEST RN 519387-75-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

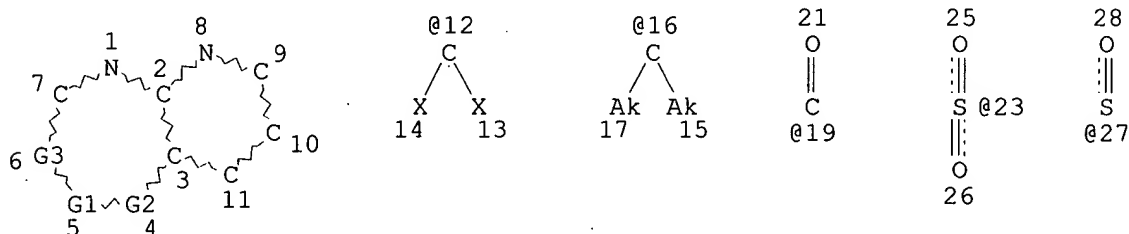
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
 PROPERTIES for more information. See STNote 27, Searching Properties  
 in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d sta que 159

L1 438185 SEA FILE=REGISTRY ABB=ON PLU=ON (NCSC2 OR NOC3)/ES AND NR>=3

L5 STR



VAR G1=CH2/O/S/27/23/19/12/16

REP G2=(0-1) CH2

REP G3=(1-2) CH2

NODE ATTRIBUTES:

NSPEC IS R AT 12

NSPEC IS R AT 16

CONNECT IS M1 RC AT 9

CONNECT IS M1 RC AT 11

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

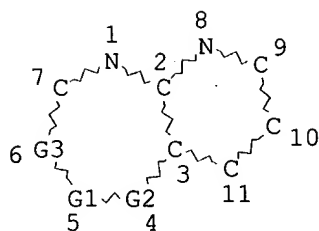
RSPEC 1

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

L54 STR

Jan Delaval  
 Reference Librarian  
 Biotechnology & Chemical Library  
 CM1 1E07 - 703-308-4498  
[jan.delaval@uspto.gov](mailto:jan.delaval@uspto.gov)



VAR G1=C/O/S  
 REP G2=(0-1) C  
 REP G3=(1-2) C  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
 RSPEC 1  
 NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L56 532 SEA FILE=REGISTRY SUB=L1 SSS FUL L54  
 L59 22 SEA FILE=REGISTRY SUB=L56 CSS FUL L5

100.0% PROCESSED 532 ITERATIONS  
 SEARCH TIME: 00.00.01

22 ANSWERS

=> d his

(FILE 'HOME' ENTERED AT 09:53:07 ON 25 MAY 2003)  
 SET COST OFF

FILE 'REGISTRY' ENTERED AT 09:53:21 ON 25 MAY 2003

L1 438185 S (NCSC2 OR NOC3)/ES AND NR>=3  
 L2 STR  
 L3 0 S L2 CSS SAM SUB=L1  
 L4 1 S L2 SAM SUB=L1  
 L5 STR L2  
 L6 0 S L5 CSS SAM SUB=L1  
 L7 2 S L5 SAM SUB=L1

FILE 'HCAPLUS' ENTERED AT 09:58:00 ON 25 MAY 2003

E US20020133023/PN  
 L8 1 S E3  
 SEL RN

FILE 'REGISTRY' ENTERED AT 09:58:56 ON 25 MAY 2003

L9 393 S E1-E393  
 L10 17 S L9 AND L1  
 L11 23 S L9 AND (NCSC2 OR NOC3)/ES  
 L12 23 S L10,L11  
 L13 6 S L11 NOT L10  
 L14 370 S L9 NOT L10-L13  
 L15 202 S L14 AND NR>=3  
 L16 80 S L15 NOT C2N2O/EA  
 L17 12 S L16 NOT C3N2/RF

FILE 'HCAPLUS' ENTERED AT 10:06:35 ON 25 MAY 2003  
 E NAGARAJAN S/AU

L18 124 S E3,E5,E8  
L19 49 S E18-E22  
E SRINIVASAN/AU  
L20 29 S E3  
E SRINIVASAN N/AU  
L21 224 S E3,E7  
E SRINIVASAN R/AU  
L22 990 S E3  
E KHANNA I/AU  
L23 57 S E4,E7-E9  
E TOLLEFSON M/AU  
L24 17 S E6,E7  
E MOHLER S/AU  
L25 3 S E3,E4  
E MOEHLER S/AU  
L26 24 S E3  
E CHEN B/AU  
L27 597 S E3-E30  
E CHEN BARB/AU  
L28 31 S E4-E6  
E RUSSELL M/AU  
L29 352 S E3-E29,E54-E66  
E DEVADAS B/AU  
L30 67 S E3-E6  
E PENNING T/AU  
L31 59 S E4,E6-E8  
E SCHRETZMAN L/AU  
L32 13 S E4-E6  
E SPANGLER D/AU  
L33 47 S E3,E6-E9  
E BOYS M/AU  
L34 13 S E4,E5  
E CHANDRAKUMAR N/AU  
L35 89 S E3,E5-E7  
E LU H/AU  
L36 276 S E3,E8  
E LU HUNG/AU  
E LU HWANG/AU  
L37 20 S E4  
E L18-L37 AND PHARMAC?/PA,CS  
L38 24 S L18-L37 AND PHARMACIA?/PA,CS  
L39 23 S L18-L37 AND INTEGRIN  
L40 168 S L18-L37 AND HET?/SC, SX  
L41 51 S L18-L37 AND (?THIAZOL? OR ?ISOXAZOL?)  
L42 11 S L39 AND L40,L41  
L43 11 S L38 AND L39,L41,L42  
L44 16 S L42,L43  
L45 13 S L40 AND L44  
L46 5 S L41 AND L44  
L47 13 S L45,L46  
L48 3 S L44 NOT L47  
L49 12 S L47 NOT L8

FILE 'REGISTRY' ENTERED AT 10:17:09 ON 25 MAY 2003

FILE 'HCAPLUS' ENTERED AT 10:17:10 ON 25 MAY 2003

SET SMARTSELECT ON  
L50 SEL L49 1- RN : 3554 TERMS  
SET SMARTSELECT OFF

FILE 'REGISTRY' ENTERED AT 10:17:11 ON 25 MAY 2003

L51 3554 S L50  
L52 19 S L1 AND L51

L53 17 S L51 AND (NCSC2 OR NOC3)/ES NOT L52  
L54 STR L5  
L55 29 S L54 SAM SUB=L1  
L56 532 S L54 FUL SUB=L1  
SAV TEMP L56 DENTZ881/A  
L57 20 S L9,L51 AND L56  
L58 0 S L5 CSS SAM SUB=L56  
L59 22 S L5 CSS FUL SUB=L56  
L60 2 S L59 NOT L57  
SAV TEMP L59 DENTZ881A/A  
L61 22 S L57,L59,L60  
L62 5 S L61 NOT L10  
L63 17 S L61 NOT L62

FILE 'HCAOLD' ENTERED AT 10:25:52 ON 25 MAY 2003

L64 0 S L63  
L65 0 S L62

FILE 'USPATFULL, USPAT2' ENTERED AT 10:25:59 ON 25 MAY 2003

L66 0 S L63  
L67 5 S L62  
L68 4 S L67 NOT (G06F OR G06T)/IC, ICM, ICS

FILE 'HCAPLUS' ENTERED AT 10:27:36 ON 25 MAY 2003

L69 1 S L63  
L70 2 S L62  
L71 3 S L69,L70  
L72 2 S L71 AND L8,L18-L49  
L73 1 S L71 NOT L72

FILE 'REGISTRY' ENTERED AT 10:28:34 ON 25 MAY 2003

=> d ide can tot l63

L63 ANSWER 1 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381674-66-4 REGISTRY

CN 5-Isioxazolebutanoic acid, .beta.-1,3-benzodioxol-5-yl-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)

OTHER NAMES:

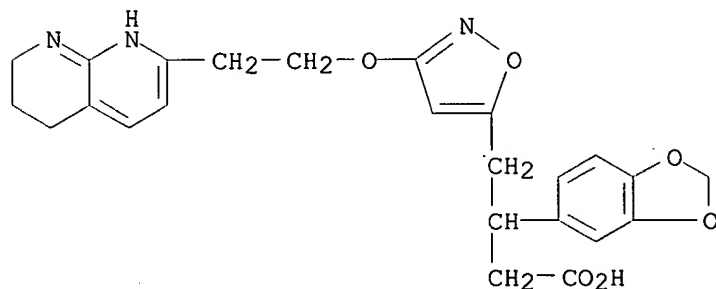
CN 3-Benzo[1,3]dioxol-5-yl-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isioxazol-5-yl]butyric acid

FS 3D CONCORD

MF C24 H25 N3 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)

REFERENCE 1: 136:53749

RN 381674-62-0 REGISTRY

CN	3-Pyridinepropanoic acid, .beta.-[[3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)
----	---

OTHER NAMES:

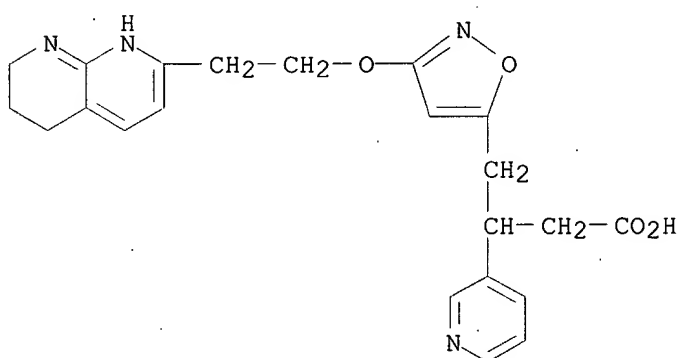
CN 3-Pyridin-3-yl-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid

FS 3D CONCORD

MF C22 H24 N4 O4

SR      CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

RN 381674-58-4 REGISTRY

CN 5-Isoxazolebutanoic acid, .beta.-(3-fluorophenyl)-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)

OTHER NAMES:

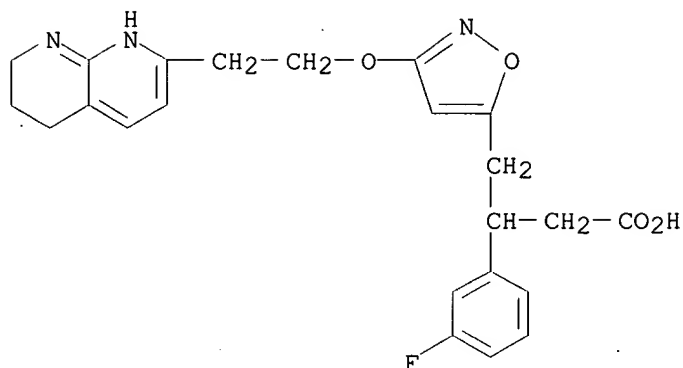
CN 3-(3-Fluorophenyl)-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid

FS 3D CONCORD

MF C23 H24 F N3 O4

SR      CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 4 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381674-55-1 REGISTRY

CN 5-Isioxazolebutanoic acid, .beta.-(2,3-dihydro-6-benzofuranyl)-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)

OTHER NAMES:

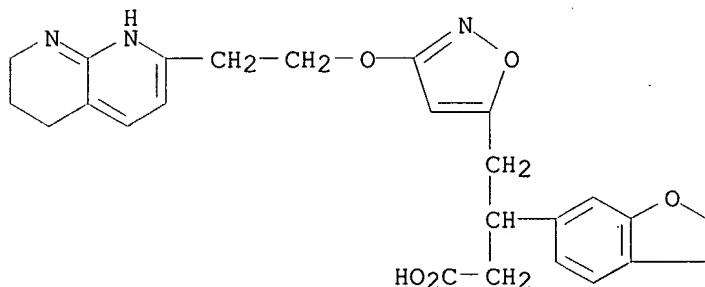
CN 3-(2,3-Dihydrobenzofuran-6-yl)-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid

FS 3D CONCORD

MF C25 H27 N3 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 5 OF 17 REGISTRY COPYRIGHT 2003 ACS

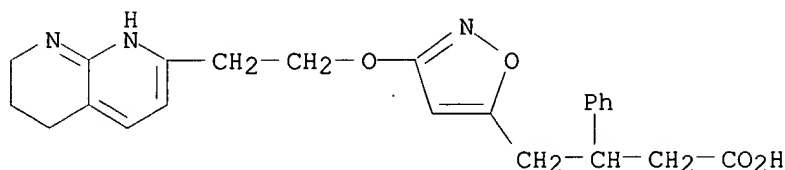
RN 381674-54-0 REGISTRY

CN 5-Isioxazolebutanoic acid, .beta.-phenyl-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 3-Phenyl-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-

yl)ethoxy]isoxazol-5-yl]butyric acid  
 FS 3D CONCORD  
 MF C23 H25 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

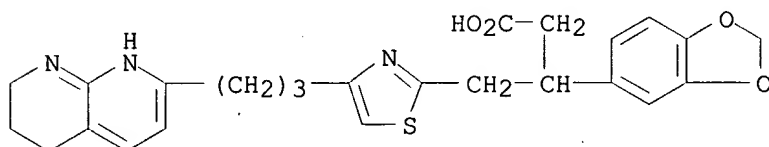


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 6 OF 17 REGISTRY COPYRIGHT 2003 ACS  
 RN 381674-26-6 REGISTRY  
 CN 2-Thiazolebutanoic acid, .beta.-1,3-benzodioxol-5-yl-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 3-Benzo[1,3]dioxol-5-yl-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid.  
 FS 3D CONCORD  
 MF C25 H27 N3 O4 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

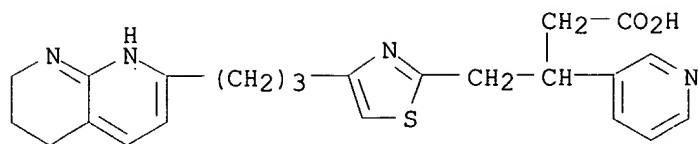


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 7 OF 17 REGISTRY COPYRIGHT 2003 ACS  
 RN 381674-23-3 REGISTRY  
 CN 3-Pyridinepropanoic acid, .beta.-[[4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 3-Pyridin-3-yl-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid  
 FS 3D CONCORD  
 MF C23 H26 N4 O2 S  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 8 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN **381674-20-0** REGISTRY

CN 2-Thiazolebutanoic acid, .beta.-(3-fluorophenyl)-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

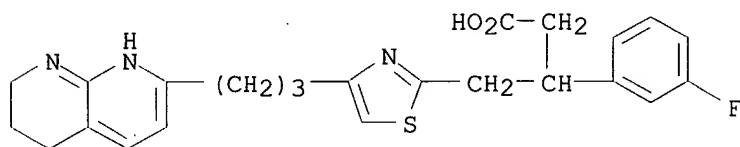
CN 3-(3-Fluorophenyl)-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid

FS 3D CONCORD

MF C24 H26 F N3 O2 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 9 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN **381674-18-6** REGISTRY

CN 2-Thiazolebutanoic acid, .beta.-(2,3-dihydro-6-benzofuranyl)-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

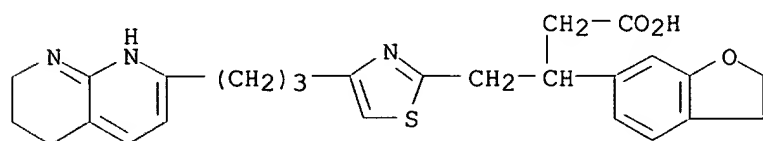
CN 3-(2,3-Dihydrobenzofuran-6-yl)-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid

FS 3D CONCORD

MF C26 H29 N3 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 10 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN **381674-17-5** REGISTRY

CN 2-Thiazolebutanoic acid, .beta.-phenyl-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

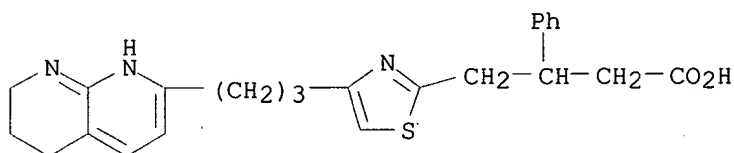
CN 3-Phenyl-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid

FS 3D CONCORD

MF C24 H27 N3 O2 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 11 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN **381674-14-2** REGISTRY

CN Cyclopropaneacetic acid, 2-[4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

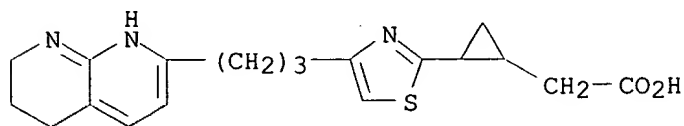
CN [2-[4-[3-(5,6,7,8-Tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]cyclopropyl]acetic acid

FS 3D CONCORD

MF C19 H23 N3 O2 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 12 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381673-88-7 REGISTRY

CN 3-Isioxazolebutanoic acid, .beta.-1,3-benzodioxol-5-yl-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

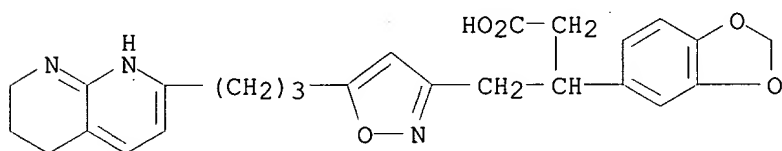
CN 3-Benzo[1,3]dioxol-5-yl-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid

FS 3D CONCORD

MF C25 H27 N3 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 13 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381673-85-4 REGISTRY

CN 3-Pyridinepropanoic acid, .beta.-[[5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-3-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

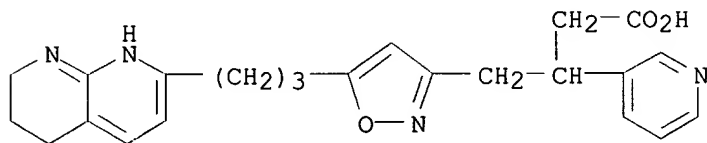
CN 3-Pyridin-3-yl-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid

FS 3D CONCORD

MF C23 H26 N4 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)

1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

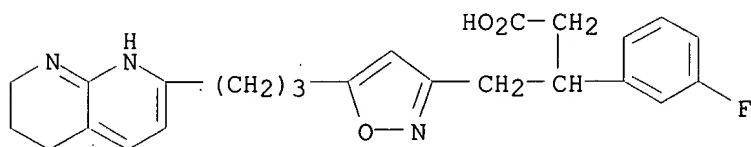
L63 ANSWER 14 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381673-82-1 REGISTRY

CN 3-Isioxazolebutanoic acid, .beta.-(3-fluorophenyl)-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN 3-(3-Fluorophenyl)-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-propyl]isoxazol-3-yl]butyric acid  
 FS 3D CONCORD  
 MF C24 H26 F N3 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

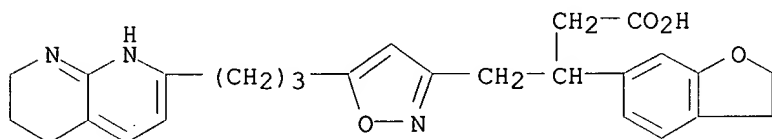
L63 ANSWER 15 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381673-79-6 REGISTRY

CN 3-Isioxazolebutanoic acid, .beta.-(2,3-dihydro-6-benzofuranyl)-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN 3-(2,3-Dihydrobenzofuran-6-yl)-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid  
 FS 3D CONCORD  
 MF C26 H29 N3 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

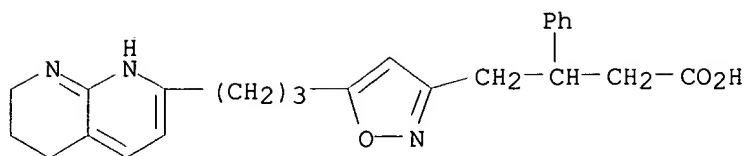
L63 ANSWER 16 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381673-76-3 REGISTRY

CN 3-Isioxazolebutanoic acid, .beta.-phenyl-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN 3-Phenyl-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid  
 FS 3D CONCORD  
 MF C24 H27 N3 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

L63 ANSWER 17 OF 17 REGISTRY COPYRIGHT 2003 ACS

RN 381673-72-9 REGISTRY

CN Cyclopropaneacetic acid, 2-[5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-3-isoxazolyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

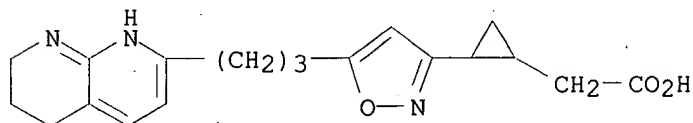
CN [2-[5-[3-(5,6,7,8-Tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]cyclopropyl]acetic acid

FS 3D CONCORD

MF C19.H23 N3 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53749

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L62 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2003 ACS

RN 381226-25-1 REGISTRY

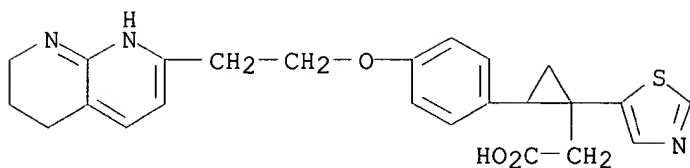
CN Cyclopropaneacetic acid, 2-[4-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]-1-(5-thiazolyl)- (9CI) (CA INDEX NAME)

MF C24 H25 N3 O3 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

F-4I only

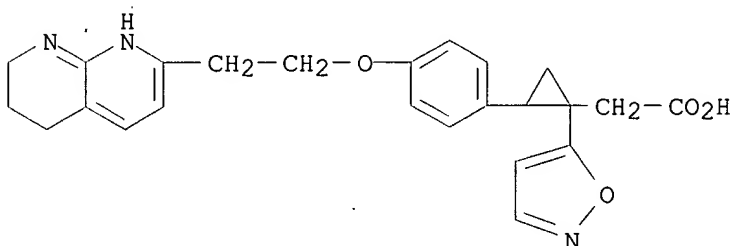


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53681

L62 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2003 ACS  
RN **381226-22-8** REGISTRY  
CN Cyclopropaneacetic acid, 1-(5-isoxazolyl)-2-[4-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)  
MF C24 H25 N3 O4  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

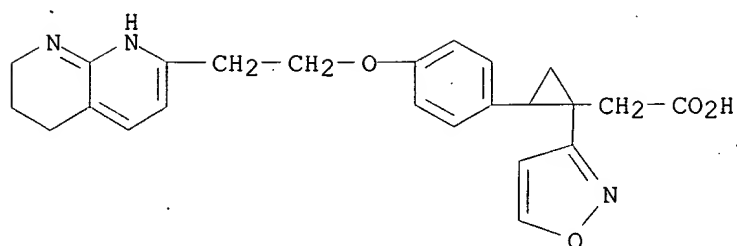


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53681

L62 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2003 ACS  
RN **381226-21-7** REGISTRY  
CN Cyclopropaneacetic acid, 1-(3-isoxazolyl)-2-[4-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)  
MF C24 H25 N3 O4  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



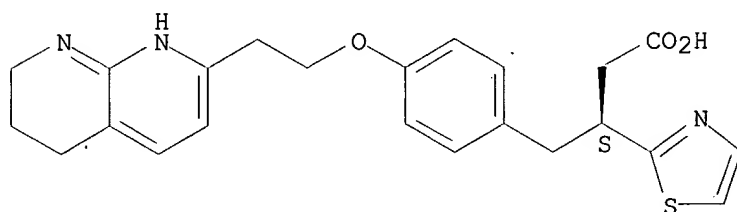
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 136:53681

L62 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2003 ACS  
RN 243642-64-0 REGISTRY  
CN 2-Thiazolepropanoic acid, .beta.-[[4-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]methyl]-, (.beta.S)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C23 H25 N3 O3 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



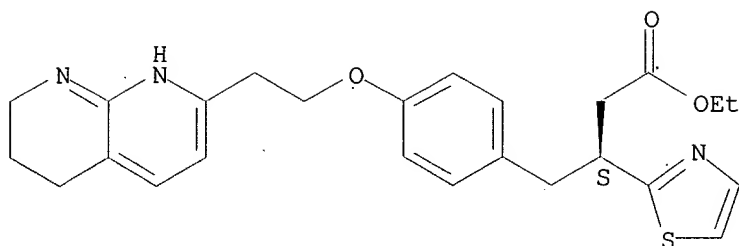
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1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 131:214194

L62 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2003 ACS  
RN 243642-29-7 REGISTRY  
CN 2-Thiazolepropanoic acid, .beta.-[[4-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]methyl]-, ethyl ester, (.beta.S)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C25 H29 N3 O3 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1957 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE 1: 131:214194

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 10:29:02 ON 25 MAY 2003

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FILE COVERS 1907 - 25 May 2003 VOL 138 ISS 22

FILE LAST UPDATED: 23 May 2003 (20030523/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all hitstr tot 172

L72 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2003 ACS

AN 2001:923795 HCAPLUS

DN 136:53749

TI Preparation of heteroarylalkanoic acids as **integrin** receptor antagonists

IN Nagarajan, Scrinivasan Raj; Khanna, Ish Kumar; Tollefson, Michael B.; Mohler, Scott B.; Chen, Barbara; Russell, Mark; Devadas, Balekudru; Penning, Thomas D.; Schretzman, Lori A.; Spangler, Dale P.; Boys, Mark Laurence; Chandrakumar, Nizal Samuel; Lu, Hwang-Fun

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 368 pp.

CODEN: PIXXD2

DT Patent

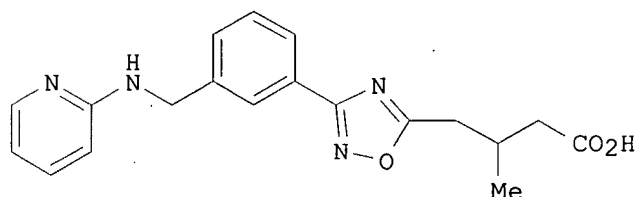
LA English

IC ICM C07D471-00  
 CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096334	A2	20011220	WO 2001-US19375	20010615
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002133023	A1	20020919	US 2001-881913	20010615 <--
	EP 1289983	A2	20030312	EP 2001-948424	20010615
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRAI	US 2000-211781P	P	20000615		
	US 2000-211782P	P	20000615		
	WO 2001-US19375	W	20010615		
OS	MARPAT 136:53749				
GI					



II

AB Title compds. A1Z2Z1AXYY5(Y3)(Y4)CH2CORb [I; wherein ring A = (un)substituted 4-8 membered monocyclic or 7-12 membered bicyclic ring contg. 1-4 heteroatoms, selected from O, N, or S; A1 = (un)substituted 5-9 membered monocyclic or 7-14 membered polycyclic heterocycle contg. at least 1 N and optionally 1-4 heteroatoms or groups selected from O, N, S, SO<sub>2</sub>, or CO; Z1 = CH<sub>2</sub>, O, CH<sub>2</sub>O, NH, CO, S, SO, CH(OH), and SO<sub>2</sub>; Z2 = (un)substituted 1-5 C linker optionally contg. 1 or more heteroatoms selected from O, S, and N; Z1Z2 may contain a carboxamide, sulfone, sulfonamide, alkenyl, alkynyl, acyl, or (un)substituted 5- or 6-membered (hetero)aryl; X = CHRe, NRf, O, S, SO<sub>2</sub>, or CO; Re = H, (cyclo)alkyl, alkoxy(alkyl), OH, alkynyl, alkenyl, haloalkyl, thioalkyl, or aryl; Rf = H, (halo)alkyl, aryl, or benzyl; Y = (CH<sub>2</sub>)<sub>p</sub>, CHRg, NRg, CO, or SO<sub>2</sub>; Rg = H, (halo)alkyl, alkoxyalkyl, alkynyl, (hetero)aryl, OH, alkoxy, or carboxyalkyl; p = 0-1; XY may contain acyl, alkyl, sulfonyl, amino, (thio)ether, carboxamido, sulfonamido, aminosulfonyl, or olefin; Y3 and Y4 = independently H, (halo)alkyl, halo, (hetero)aryl, hydroxyalkyl, alkynyl, etc.; Rb = X2Rh; X2 = O, S, or NRj; Rh and Rj = independently H, (ar)alkyl, acyl, or alkoxyalkyl; with provisos] and their pharmaceutically acceptable salts were prepd. for selectively antagonizing the .alpha.v.beta.3 and/or the .alpha.v.beta.5 **integrin** without significantly antagonizing the fibrinogen IIb/IIIa **integrin**. For example, 3-(hydroxymethyl)benzonitrile was protected with 3,4-dihydro-2H-pyran (89%) and treated with HONH<sub>2</sub>.bul.HCl to give the benzenecarboximidamide (98%). Cyclization with 3-methylglutaric anhydride in the presence of MeI (64%) and deprotection (98%) gave the Me



1,2,4-oxadiazolebutanoate (64%). Oxidn. to the aldehyde, followed by reductive addn. of 2-aminopyridine and workup, afforded the oxadiazolebutanoic acid (II). In vitronectin adhesion assays, I antagonized the .alpha.v.beta.3 **integrin** and the .alpha.v.beta.5 **integrin** with IC50 values of 0.1 nM to 100 .mu.M and < 50 .mu.M, resp. I are useful for the treatment of tumor metastasis, solid tumor growth, angiogenesis, osteoporosis, humoral hypercalcemia of malignancy, smooth muscle cell migration, restenosis, atherosclerosis, macular degeneration, retinopathy, and arthritis (no data).

ST heteroarylalkanoic acid prepn vitronectin **integrin** receptor antagonist; oxadiazolealkanoic acid prepn antitumor agent angiogenesis inhibitor

IT **Integrins**

RL: BSU (Biological study, unclassified); BIOL (Biological study) (IIIa; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Cytotoxic agents

(comps. of heteroarylalkanoic acid **integrin** receptor antagonists with cytotoxic agents)

IT Neoplasm

(humoral hypercalcemia of malignancy, treatment; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Eye, disease

(macula, degeneration, treatment; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Angiogenesis inhibitors

Antiarthritics

Antitumor agents

(prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Artery, disease

(restenosis, treatment; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Eye, disease

(retinopathy, treatment; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Osteoporosis

(therapeutic agents; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT Cell migration

(treatment of smooth muscle; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT **Integrins**

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(.alpha.IIb; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT **Integrins**

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(.alpha.v.beta.3; prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

IT **Integrins**

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(.alpha.v.beta.5; prepn. of heteroarylalkanoic acid **integrin**

(1Z)-N'-Hydroxy-4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)butanimidamide  
 RL: RCT (Reactant); RACT (Reactant or reagent)

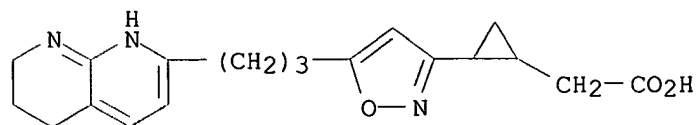
(reactant; prepn. of heteroarylalkanoic acid **integrin**  
 receptor antagonists as antitumor agents, angiogenesis inhibitors, and  
 antiarthritics)

IT 381673-72-9P, [2-[5-[3-(5,6,7,8-Tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]cyclopropyl]acetic acid  
 381673-76-3P, 3-Phenyl-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid  
 381673-79-6P, 3-(2,3-Dihydrobenzofuran-6-yl)-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid  
 381673-82-1P, 3-(3-Fluorophenyl)-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)-propyl]isoxazol-3-yl]butyric acid  
 381673-85-4P, 3-Pyridin-3-yl-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid  
 381673-88-7P, 3-Benzo[1,3]dioxol-5-yl-4-[5-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]isoxazol-3-yl]butyric acid  
 381674-14-2P, [2-[4-[3-(5,6,7,8-Tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]cyclopropyl]acetic acid  
 381674-17-5P, 3-Phenyl-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid  
 381674-18-6P, 3-(2,3-Dihydrobenzofuran-6-yl)-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid  
 381674-20-0P, 3-(3-Fluorophenyl)-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid  
 381674-23-3P, 3-Pyridin-3-yl-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid  
 381674-26-6P, 3-Benzo[1,3]dioxol-5-yl-4-[4-[3-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)propyl]thiazol-2-yl]butyric acid  
 381674-54-0P, 3-Phenyl-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid  
 381674-55-1P, 3-(2,3-Dihydrobenzofuran-6-yl)-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid  
 381674-58-4P, 3-(3-Fluorophenyl)-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid  
 381674-62-0P, 3-Pyridin-3-yl-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid  
 381674-66-4P, 3-Benzo[1,3]dioxol-5-yl-4-[3-[2-(5,6,7,8-tetrahydro-[1,8]naphthyridin-2-yl)ethoxy]isoxazol-5-yl]butyric acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroarylalkanoic acid **integrin** receptor antagonists as antitumor agents, angiogenesis inhibitors, and antiarthritics)

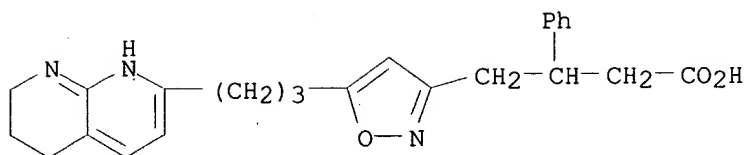
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CN Cyclopropaneacetic acid, 2-[5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-3-isoxazolyl]- (9CI) (CA INDEX NAME)



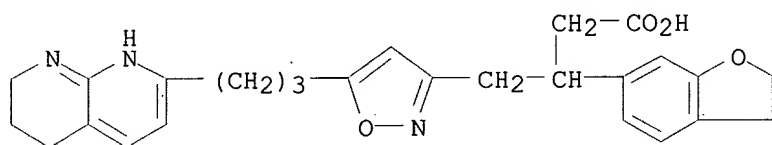
RN 381673-76-3 HCAPLUS

CN 3-Isoxazolebutanoic acid, .beta.-phenyl-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



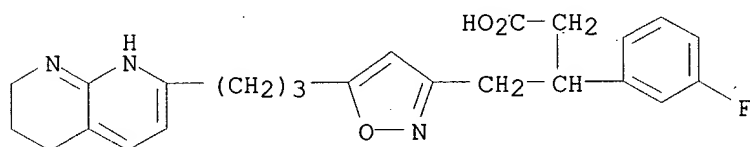
RN 381673-79-6 HCAPLUS

CN 3-Isoxazolebutanoic acid, .beta.-(2,3-dihydro-6-benzofuranyl)-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



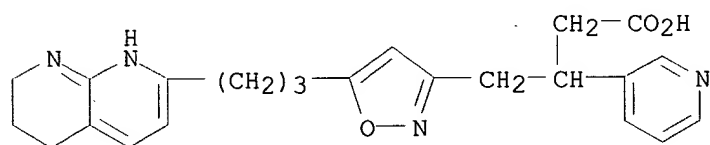
RN 381673-82-1 HCAPLUS

CN 3-Isoxazolebutanoic acid, .beta.-(3-fluorophenyl)-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



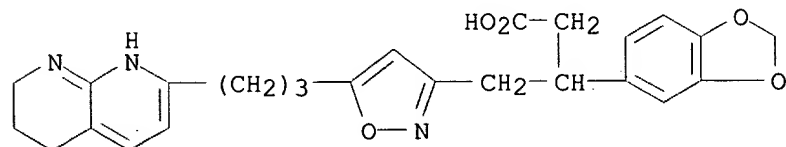
RN 381673-85-4 HCAPLUS

CN 3-Pyridinepropanoic acid, .beta.-[[5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-3-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



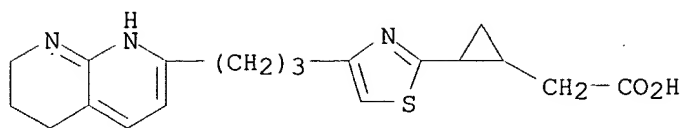
RN 381673-88-7 HCAPLUS

CN 3-Isoxazolebutanoic acid, .beta.-1,3-benzodioxol-5-yl-5-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



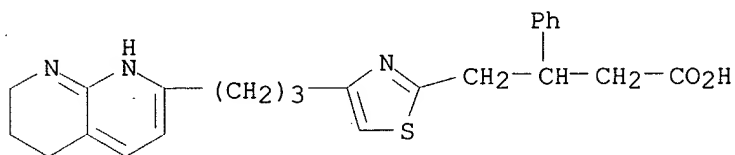
RN 381674-14-2 HCAPLUS

CN Cyclopropaneacetic acid, 2-[4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



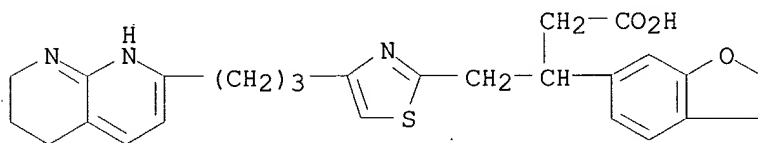
RN 381674-17-5 HCAPLUS

CN 2-Thiazolebutanoic acid, .beta.-phenyl-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



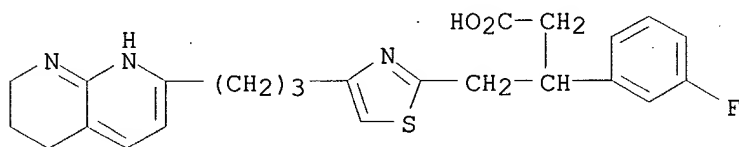
RN 381674-18-6 HCAPLUS

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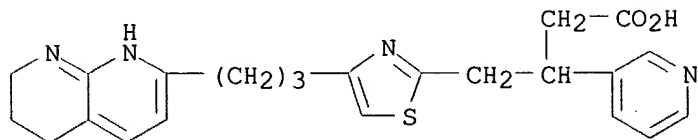
RN 381674-20-0 HCAPLUS

CN 2-Thiazolebutanoic acid, .beta.-(3-fluorophenyl)-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



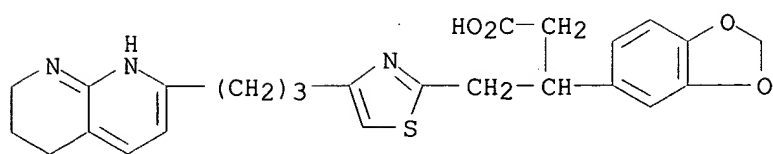
RN 381674-23-3 HCAPLUS

CN 3-Pyridinepropanoic acid, .beta.-[[4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]-2-thiazolyl]methyl]- (9CI) (CA INDEX NAME)



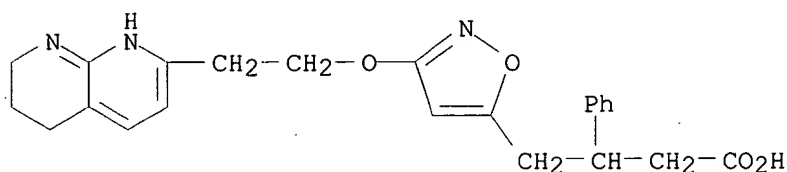
RN 381674-26-6 HCAPLUS

CN 2-Thiazolebutanoic acid, .beta.-1,3-benzodioxol-5-yl-4-[3-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)propyl]- (9CI) (CA INDEX NAME)



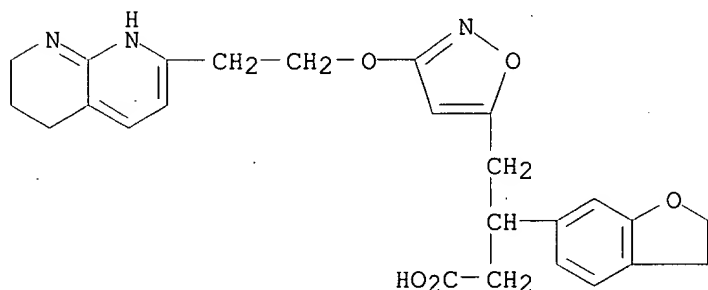
RN 381674-54-0 HCAPLUS

CN 5-Isoxazolebutanoic acid, .beta.-phenyl-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)



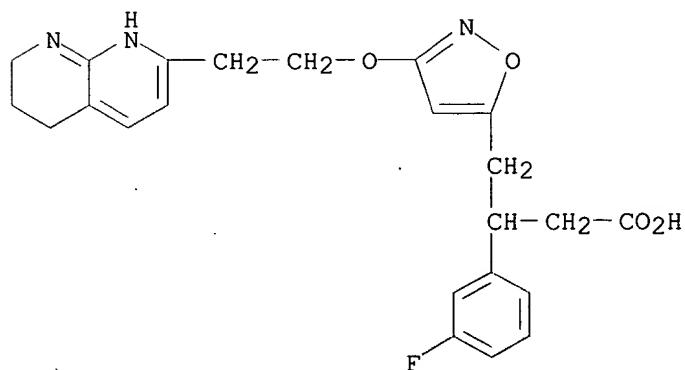
RN 381674-55-1 HCAPLUS

CN 5-Isoxazolebutanoic acid, .beta.-(2,3-dihydro-6-benzofuranyl)-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)



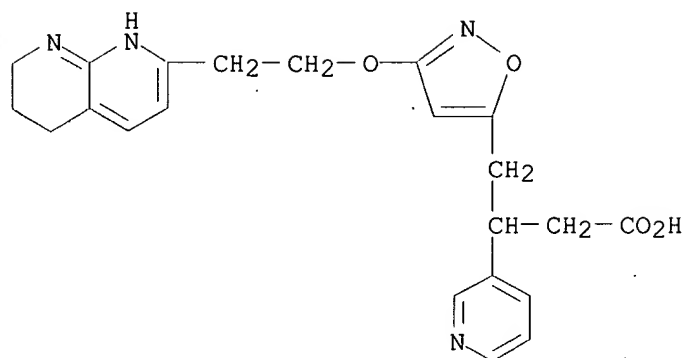
RN 381674-58-4 HCAPLUS

CN 5-Isoxazolebutanoic acid, .beta.-(3-fluorophenyl)-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)

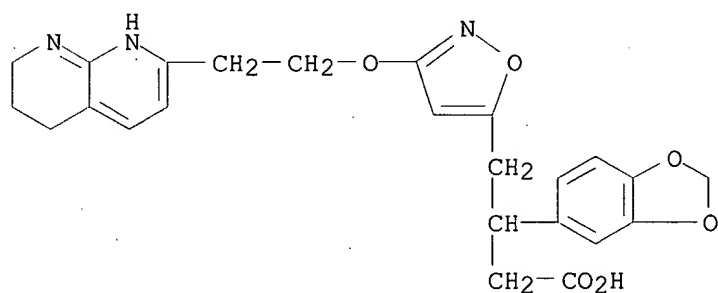


RN 381674-62-0 HCAPLUS

CN 3-Pyridinepropanoic acid, .beta.-[[3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 381674-66-4 HCAPLUS  
 CN 5-Isioxazolebutanoic acid, .beta.-1,3-benzodioxol-5-yl-3-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]- (9CI) (CA INDEX NAME)



L72 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2003 ACS  
 AN 2001:923768 HCAPLUS  
 DN 136:53681  
 TI Preparation of cycloalkylalkanoic acids as **integrin** receptor antagonists  
 IN **Khanna, Ish Kumar**; Clare, Michael; Gasiecki, Alan F.; Rogers, Thomas; **Chen, Barbara**; **Russell, Mark**; **Lu, Hwang-Fun**  
 PA **Pharmacia Corporation, USA**  
 SO PCT Int. Appl., 171 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07D213-00  
 CC 27-16 (**Heterocyclic** Compounds (One **Hetero** Atom))  
 Section cross-reference(s): 1, 25, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096307	A2	20011220	WO 2001-US19104	20010615
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 2002077321	A1	20020620	US 2001-882186	20010615

EP 1289960            A2    20030312            EP 2001-948363    20010615  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
     IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 PRAI US 2000-211781P    P    20000615  
     WO 2001-US19104    W    20010615  
 OS    MARPAT 136:53681  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB    The prepn. of compds. [I; A = heteroaryl (e.g., pyridine, imidazole, **thiazole**, oxazole, benzimidazole, imidazopyridine, etc.); n = 0-2, etc.; R1 = H, alkyl, etc.; R2, R3, R4, R5 = alkyl, alkoxy, etc.], their pharmaceutically acceptable salts and compns., and methods of selectively inhibiting or antagonizing the .alpha..nu..beta.3 and/or .alpha..nu..beta.5 **integrin**, are described. Thus, a multi-step synthesis of the trifluoroacetate salt of 2-[4-[3-(2-pyridinylamino)propoxy]phenyl]cyclopropaneacetic acid (II) is given. Administration of I inhibits angiogenesis, tumor metastasis, tumor growth, osteoporosis, Paget's disease, humoral hypercalcemia of malignancy, retinopathy, macular degeneration, arthritis, periodontal disease, smooth muscle cell migration, including restenosis and atherosclerosis, and viral diseases.

ST    cycloalkylalkanoic acid prepn **integrin** antagonist inhibitor; antitumor agent cycloalkylalkanoic acid prepn; humoral hypercalcemia malignancy cycloalkylalkanoic acid prepn; antiarthritic cycloalkylalkanoic acid prepn; smooth muscle cell migration cycloalkylalkanoic acid prepn; angiogenesis cycloalkylalkanoic acid prepn; osteoporosis cycloalkylalkanoic acid prepn

IT    Neoplasm  
       (humoral hypercalcemia of malignancy, treatment of; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    Eye, disease  
       (macula, degeneration, treatment of; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    Angiogenesis inhibitors  
       Antiarthritics  
       Antitumor agents  
       (prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    Eye, disease  
       (retinopathy, treatment of; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    Muscle  
       (smooth, cell migration, treatment of; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    Osteoporosis  
       (therapeutic agents; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    **Integrins**  
       RL: BSU (Biological study, unclassified); BIOL (Biological study)  
       (.alpha.v.beta.3; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    **Integrins**  
       RL: BSU (Biological study, unclassified); BIOL (Biological study)  
       (.alpha.v.beta.5; prepn. of cycloalkyl alkanolic acids as **integrin** receptor antagonists)

IT    381225-73-6P    381225-74-7P    381225-75-8P    381225-76-9P    381225-77-0P  
       381225-79-2P    381225-80-5P    381225-81-6P    381225-82-7P    381225-83-8P  
       381225-84-9P, [2-[2-Methoxy-4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopr

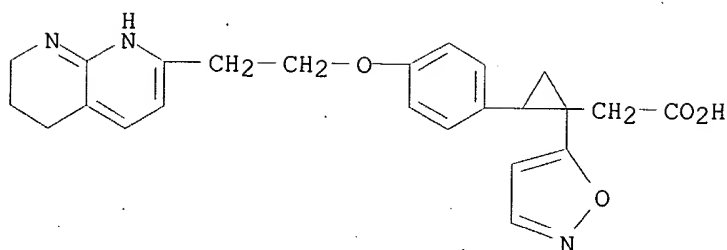
opyl]acetic acid 381225-85-0P 381225-86-1P 381225-87-2P  
 381225-88-3P 381225-89-4P 381225-90-7P, [2-[2-Fluoro-4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381225-91-8P  
 381225-93-0P 381225-94-1P 381225-95-2P 381225-96-3P,  
 3-[4-[3-(2-Pyridinylamino)propoxy]phenyl]cyclobutaneacetic acid  
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 [1-Methyl-2-[4-[2-[6-(2,2,2-trifluoroethylamino)pyridin-2-yl]ethoxy]phenyl]cyclopropyl]acetic acid 381226-02-4P,  
 [2-[4-[2-(6-Ethylaminopyridin-2-yl)ethoxy]phenyl]cyclopropyl]acetic acid  
 381226-03-5P, [2-[4-[2-[6-(2-Methoxyethylamino)pyridin-2-yl]ethoxy]phenyl]cyclopropyl]acetic acid 381226-04-6P,  
 [2-[4-[2-[6-(2,2,2-Trifluoroethylamino)pyridin-2-yl]ethoxy]phenyl]cyclopropyl]acetic acid 381226-05-7P,  
 [2-[4-[2-[6-(3-Methoxypropylamino)pyridin-2-yl]ethoxy]phenyl]cyclopropyl]a  
 cetic acid 381226-06-8P, [2-[2-Acetoxy-4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-07-9P,  
 [1-Methoxymethyl-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]ace  
 tic acid 381226-08-0P, [1-[(Methylsulfonyl)methyl]-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-09-1P,  
 [1-Pyridin-3-yl-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acet  
 ic acid 381226-10-4P, [1-Benzo[1,3]dioxol-5-yl-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-11-5P,  
 [1-(2,3-Dihydrobenzofuran-6-yl)-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-12-6P, [1-[  
**Isoxazol**-3-yl]-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cycloprop  
 yl]acetic acid 381226-13-7P, [1-[**Isoxazol**-5-yl]-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-14-8P,  
 [1-[Oxazol-5-yl]-2-[4-[3-(pyridin-2-ylamino)propoxy]phenyl]cyclopropyl]ace  
 tic acid 381226-15-9P, [2-[4-[3-(Pyridin-2-ylamino)propoxy]phenyl]-1-[  
**thiazol**-5-yl]cyclopropyl]acetic acid 381226-16-0P 381226-17-1P  
 381226-18-2P 381226-19-3P 381226-20-6P **381226-21-7P**  
**381226-22-8P** 381226-24-0P **381226-25-1P** 381226-27-3P,  
 [2-[4-[3-(1H-Imidazol-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid  
 381226-28-4P, [2-[3-Fluoro-4-[3-(1H-imidazol-2-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-29-5P,  
 [2-[3-Fluoro-4-[3-(3H-imidazol-4-ylamino)propoxy]phenyl]cyclopropyl]acetic  
 acid 381226-30-8P, [2-[4-[3-(3H-Imidazol-4-ylamino)propoxy]phenyl]cyclop  
 ropyl]acetic acid 381226-31-9P, [2-[4-[3-(1H-Pyrazol-3-ylamino)propoxy]phenyl]cyclopropyl]acetic acid 381226-32-0P,  
 [2-[3-Fluoro-4-[3-(1H-pyrazol-3-ylamino)propoxy]phenyl]cyclopropyl]acetic  
 acid 381226-33-1P, [1-Methyl-2-[4-[2-(6-methylaminopyridin-2-yl)ethoxy]phenyl]cyclopropyl]acetic acid 381226-34-2P,  
 [2-[4-[2-(6-Ethylaminopyridin-2-yl)ethoxy]phenyl]-1-methylcyclopropyl]acetic acid 381226-35-3P, [2-[4-[2-[6-(2-Methoxyethylamino)pyridin-2-yl]ethoxy]phenyl]-1-methylcyclopropyl]acetic acid 381226-36-4P, [2-[4-[2-[6-(3-Methoxypropylamino)pyridin-2-yl]ethoxy]phenyl]-1-methylcyclopropyl]acetic acid 381226-37-5P,  
 [2-[4-[2-(6-Acetylaminopyridin-2-yl)ethoxy]phenyl]-1-methylcyclopropyl]acetic acid 381226-38-6P, [2-[4-[2-(6-Acetylaminopyridin-2-yl)ethoxy]phenyl]cyclopropyl]acetic acid  
 381226-90-0P 381226-91-1P 381226-92-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

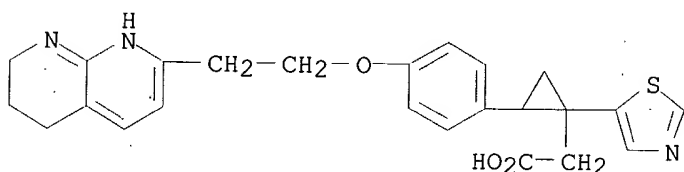
(prepn. of cycloalkyl alkanolic acids as **integrin** receptor  
 antagonists)

IT 74-95-3, Dibromomethane 75-11-6, Diiodomethane 79-04-9, Chloroacetyl  
 chloride 85-41-6, 1H-Isoindole-1,3(2H)-dione 105-58-8, Diethyl  
 carbonate 110-89-4, Piperidine, reactions 156-87-6, 3-Amino-1-propanol  
 351-54-2, 3-Fluoro-p-anisaldehyde 501-98-4, trans-4-Hydroxycinnamic acid  
 694-28-0 867-13-0 943-89-5, trans-4-Methoxycinnamic acid 1603-40-3  
 1895-39-2, Sodium chlorodifluoroacetate 2108-53-4, 3-(4-Methoxyphenyl)-2-  
 cyclopenten-1-one 2402-95-1, 2-Chloropyridine N-oxide 2446-83-5,





RN 381226-25-1 HCAPLUS  
 CN Cyclopropaneacetic acid, 2-[4-[2-(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]-1-(5-thiazolyl)- (9CI) (CA INDEX NAME)



=> d all hitstr 173

L73 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS  
 AN 1999:594939 HCAPLUS  
 DN 131:214194  
 TI Preparation of pyridinylethoxyphenylbutanoates and related compounds as vitronectin receptor antagonists.  
 IN Miller, William H.; Gleason, John G.; Heerding, Dirk; Samanen, James M.; Uzinskas, Irene N.; Manley, Peter J.  
 PA Smithkline Beecham Corporation, USA  
 SO PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K031-44  
 ICS A61K031-505; C07D213-75; C07D239-42; C07D401-12; C07D409-12; C07D413-12  
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 1, 28  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945927	A1	19990916	WO 1999-US5232	19990310
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9901884	A	19990910	ZA 1999-1884	19990309
CA 2323208	AA	19990916	CA 1999-2323208	19990310
AU 9929033	A1	19990927	AU 1999-29033	19990310
EP 1061921	A1	20001227	EP 1999-909952	19990310
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				